

10 / 573,090

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| NEWS | 2 | NOV 21 | CAS patent coverage to include exemplified prophetic substances identified in English-, French-, German-, and Japanese-language basic patents from 2004-present |
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| NEWS | 7 | DEC 12 | GBFULL now offers single source for full-text coverage of complete UK patent families |
| NEWS | 8 | DEC 17 | Fifty-one pharmaceutical ingredients added to PS |
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| NEWS | 10 | JAN 07 | WPIDS, WPINDEX, and WPIX enhanced Japanese Patent Classification Data |
| NEWS | 11 | FEB 02 | Simultaneous left and right truncation (SLART) added for CERAB, COMPUAB, ELCOM, and SOLIDSTATEM |
| NEWS | 12 | FEB 02 | GENBANK enhanced with SET PLURALS and SET SPELLING |

NEWS EXPRESS JUNE 27 08 CURRENT WINDOWS VERSION IS V8.3,
AND CURRENT DISCOVER FILE IS DATED 23 JUNE 2008.

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STRUCTURE FILE UPDATES: 4 FEB 2009 HIGHEST RN 1100909-82-7
DICTIONARY FILE UPDATES: 4 FEB 2009 HIGHEST RN 1100909-82-7

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TSCA INFORMATION NOW CURRENT THROUGH July 5, 2008.

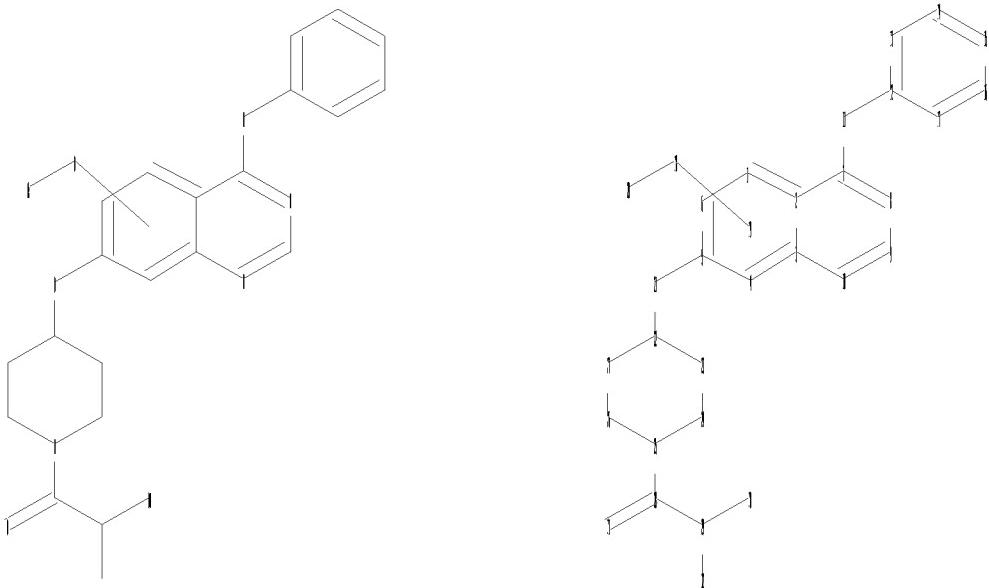
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chain nodes :
11 18 20 21 28 29 30 31 32

ring nodes :

1 2 3 4 5 6 7 8 9 10 12 13 14 15 16 17 22 23 24 25 26 27

chain bonds :

5-21 7-11 11-12 18-20 21-22 25-28 28-29 28-31 29-30 29-32

ring bonds :

1-2 1-6 2-3 2-7 3-4 3-10 4-5 5-6 7-8 8-9 9-10 12-13 12-17 13-14 14-15
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exact/norm bonds :

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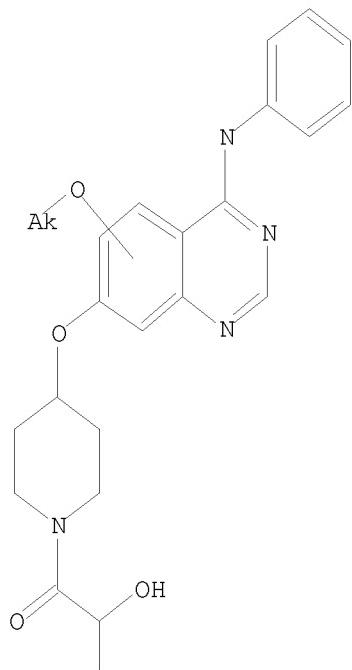
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exact bonds :
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normalized bonds :
1-2 1-6 2-3 2-7 3-4 3-10 4-5 5-6 7-8 8-9 9-10 12-13 12-17 13-14 14-15
15-16 16-17
isolated ring systems :
containing 1 : 12 : 22 :

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom
11:CLASS 12:Atom 13:Atom 14:Atom 15:Atom 16:Atom 17:Atom 18:CLASS 19:Atom
20:CLASS 21:CLASS 22:Atom 23:Atom 24:Atom 25:Atom 26:Atom 27:Atom 28:CLASS
29:CLASS 30:CLASS 31:CLASS 32:CLASS

L1 STRUCTURE UPLOADED

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L1 HAS NO ANSWERS
L1 STR



Structure attributes must be viewed using STN Express query preparation.

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SAMPLE SEARCH INITIATED 17:02:18 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 9 TO ITERATE

100.0% PROCESSED 9 ITERATIONS 0 ANSWERS
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FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 9 TO 360
PROJECTED ANSWERS: 0 TO 0

L2 0 SEA SSS SAM L1

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FULL SCREEN SEARCH COMPLETED - 187 TO ITERATE

100.0% PROCESSED 187 ITERATIONS 20 ANSWERS
SEARCH TIME: 00.00.01

L3 20 SEA SSS FUL L1

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FILE COVERS 1907 - 5 Feb 2009 VOL 150 ISS 6
FILE LAST UPDATED: 4 Feb 2009 (20090204/ED)

Caplus now includes complete International Patent Classification (IPC) reclassification data for the third quarter of 2008.

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This file contains CAS Registry Numbers for easy and accurate substance identification.

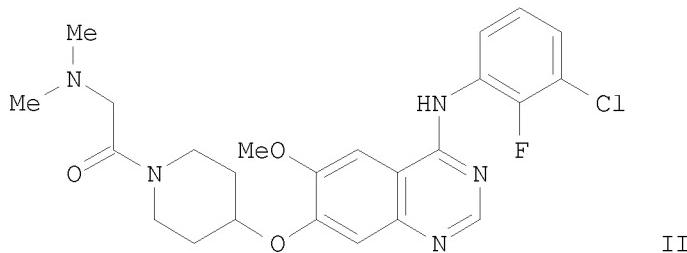
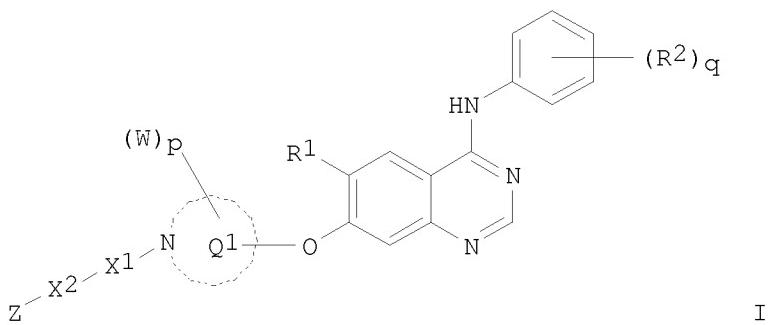
=> s 13
L4 1 L3

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L4 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2005:260053 CAPLUS
DOCUMENT NUMBER: 142:336378

TITLE: Preparation of quinazoline derivatives as EGFR tyrosine kinase inhibitors
 INVENTOR(S): Hennequin, Laurent Francois Andre; Halsall, Christopher Thomas
 PATENT ASSIGNEE(S): Astrazeneca AB, Swed.; Astrazeneca UK Limited
 SOURCE: PCT Int. Appl., 154 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|--|------------|
| WO 2005026150 | A1 | 20050324 | WO 2004-GB3923 | 20040913 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | | |
| RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| AU 2004272348 | A1 | 20050324 | AU 2004-272348 | 20040913 |
| AU 2004272348 | B2 | 20080904 | | |
| CA 2538884 | A1 | 20050324 | CA 2004-2538884 | 20040913 |
| EP 1667991 | A1 | 20060614 | EP 2004-768469 | 20040913 |
| EP 1667991 | B1 | 20080514 | | |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR | | | | |
| BR 2004014489 | A | 20061114 | BR 2004-14489 | 20040913 |
| CN 1882567 | A | 20061220 | CN 2004-80033525 | 20040913 |
| JP 2007505872 | T | 20070315 | JP 2006-526682 | 20040913 |
| AT 395346 | T | 20080515 | AT 2004-768469 | 20040913 |
| ES 2305844 | T3 | 20081101 | ES 2004-768469 | 20040913 |
| MX 2006002964 | A | 20060614 | MX 2006-2964 | 20060315 |
| US 20070043009 | A1 | 20070222 | US 2006-573090 | 20060315 |
| NO 2006001322 | A | 20060615 | NO 2006-1322 | 20060323 |
| KR 2007023631 | A | 20070228 | KR 2006-707266 | 20060414 |
| HK 1091480 | A1 | 20080815 | HK 2006-111949 | 20061031 |
| PRIORITY APPLN. INFO.: | | | GB 2003-21620 | A 20030916 |
| | | | GB 2004-6163 | A 20040319 |
| | | | WO 2004-GB3923 | W 20040913 |
| OTHER SOURCE(S): GI | | | CASREACT 142:336378; MARPAT 142:336378 | |



AB Title compds. I [R1 = H, OH, alkoxy, etc.; q = 1-5; each R2 independently = halo, CN, amino, nitro, etc.; Q1 = piperidinyl; p = 0-4; W independently = CF₃, formyl, mercapto, etc.; X1 = CO, SO₂; X2 = -(CR₃R₄)_m-(Q2)_n-(CR₅R₆)_x; m = 0-4; n = 0-1; R3, R4, R5, R6 independently = H, alkyl, dialkylamino, etc.; Z = alkylamino, amino, OH, etc.], and their pharmaceutically acceptable salts, are prepared and disclosed as useful for the treatment of certain cancers. Thus, e.g., II was prepared by etherification of 4-[3-chloro-2-fluoroanilino]-6-methoxyquinazolin-7-ol (preparation given) with tert-butyl-(4-methanesulfonyloxy)piperidine-1-carboxylate followed by deesterification and acetylation with N,N-dimethylaminoacetyl chloride. The activity of I was evaluated in different inhibition assays directed at inhibiting phosphorylation, cell proliferation, and in vivo tumor growth and revealed that all compds. of the invention possessed IC₅₀ values of 0.001-5 μM or activity in the range of 1-200 mg/kg/day. I as tyrosine kinase inhibitors should prove useful in the treatment of diseases such as certain cancers mediated by erbB receptor tyrosine kinases, particularly EGFR tyrosine kinase.

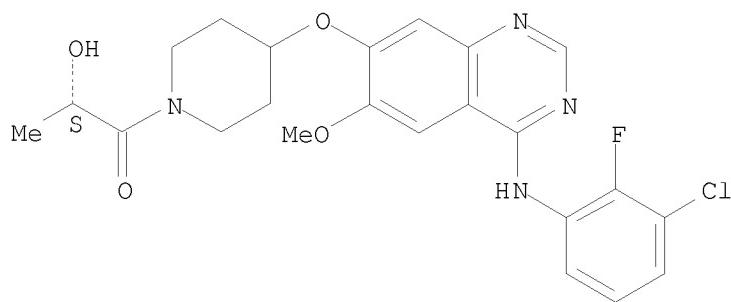
IT 848439-61-2P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of quinazoline derivs. as inhibitors of EGFR tyrosine kinase)

RN 848439-61-2 CAPLUS

CN 1-Propanone, 1-[4-[(4-[(3-chloro-2-fluorophenyl)amino]-6-methoxy-7-quinazolinyl]oxy)-1-piperidinyl]-2-hydroxy-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.



IT 848439-62-3P 848439-67-8P 848439-70-3P
 848439-78-1P 848439-87-2P 848439-88-3P
 848439-90-7P 848439-91-8P 848439-92-9P
 848439-93-0P 848439-94-1P 848439-95-2P
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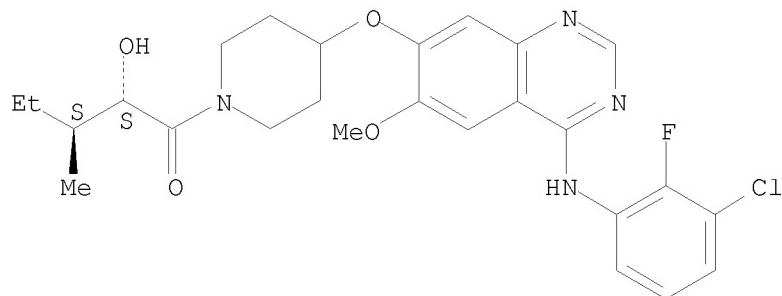
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of quinazoline derivs. as inhibitors of EGFR tyrosine kinase)

RN 848439-62-3 CAPLUS

CN 1-Pentanone, 1-[4-[(4-[(3-chloro-2-fluorophenyl)amino]-6-methoxy-7-quinazolinyl]oxy)-1-piperidinyl]-2-hydroxy-3-methyl-, (2S,3S)- (CA INDEX NAME)

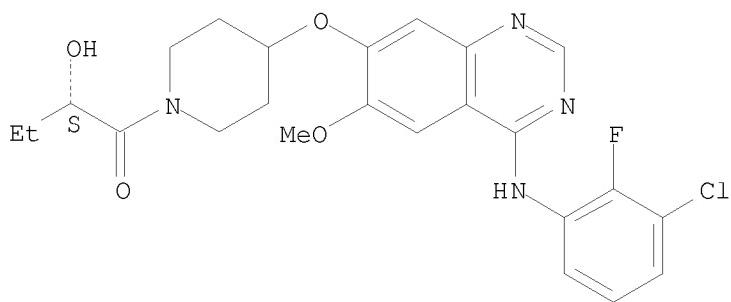
Absolute stereochemistry.



RN 848439-67-8 CAPLUS

CN 1-Butanone, 1-[4-[(4-[(3-chloro-2-fluorophenyl)amino]-6-methoxy-7-quinazolinyl]oxy)-1-piperidinyl]-2-hydroxy-, (2S)- (CA INDEX NAME)

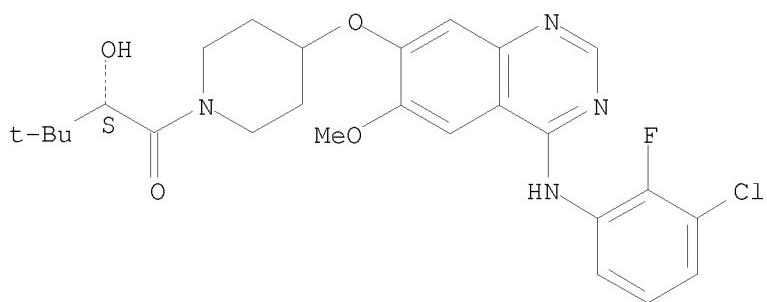
Absolute stereochemistry.



RN 848439-70-3 CAPLUS

CN 1-Butanone, 1-[4-[(4-[(3-chloro-2-fluorophenyl)amino]-6-methoxy-7-quinazolinyl)oxy]-1-piperidinyl]-2-hydroxy-3,3-dimethyl-, (2S)- (CA INDEX NAME)

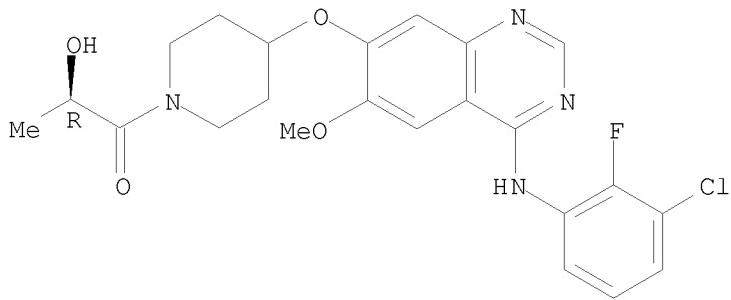
Absolute stereochemistry.



RN 848439-78-1 CAPLUS

CN 1-Propanone, 1-[4-[(4-[(3-chloro-2-fluorophenyl)amino]-6-methoxy-7-quinazolinyl)oxy]-1-piperidinyl]-2-hydroxy-, (2R)- (CA INDEX NAME)

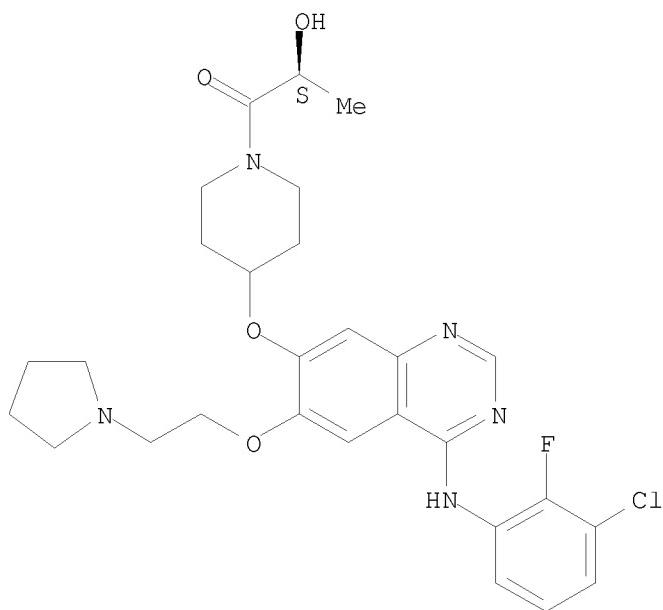
Absolute stereochemistry.



RN 848439-87-2 CAPLUS

CN 1-Propanone, 1-[4-[(4-[(3-chloro-2-fluorophenyl)amino]-6-[2-(1-pyrrolidinyl)ethoxy]-7-quinazolinyl)oxy]-1-piperidinyl]-2-hydroxy-, (2S)- (CA INDEX NAME)

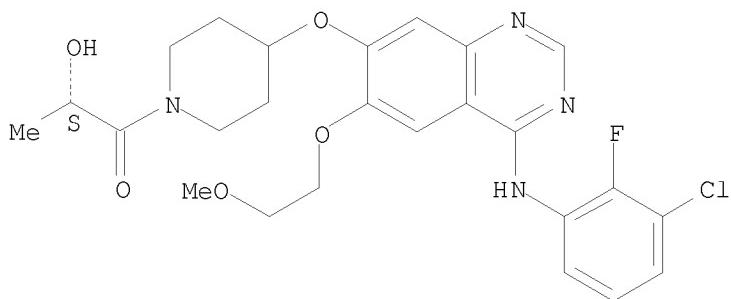
Absolute stereochemistry.



RN 848439-88-3 CAPLUS

CN 1-Propanone, 1-[4-[(4-[(3-chloro-2-fluorophenyl)amino]-6-(2-methoxyethoxy)-7-quinazolinyl]oxy]-1-piperidinyl]-2-hydroxy-, (2S)- (CA INDEX NAME)

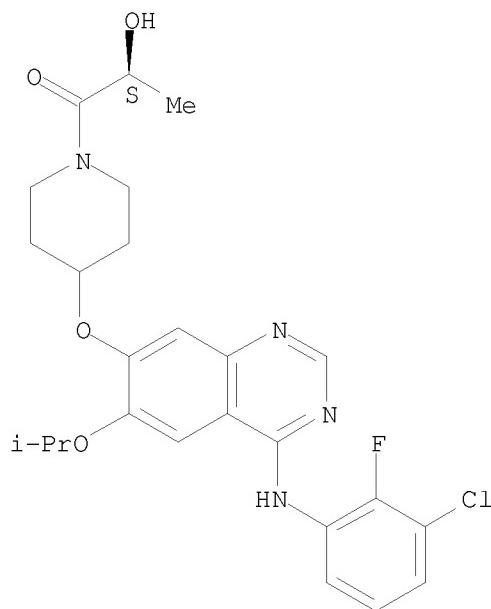
Absolute stereochemistry.



RN 848439-90-7 CAPLUS

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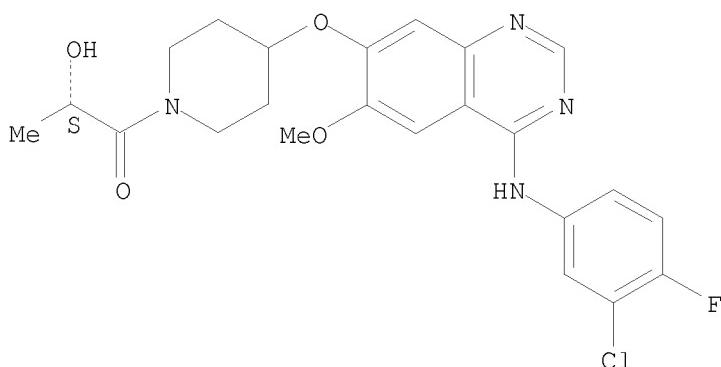
Absolute stereochemistry.



RN 848439-91-8 CAPLUS

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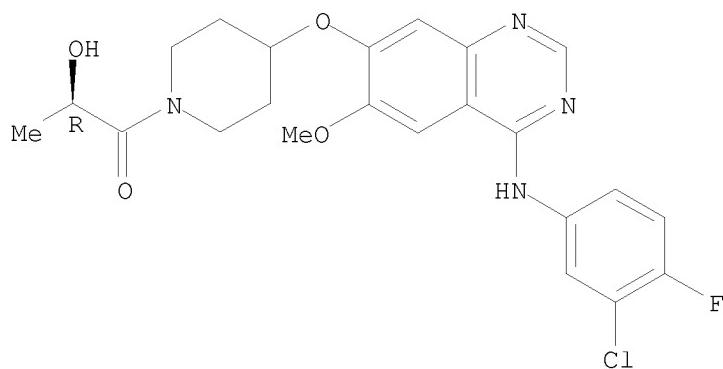
Absolute stereochemistry.



RN 848439-92-9 CAPLUS

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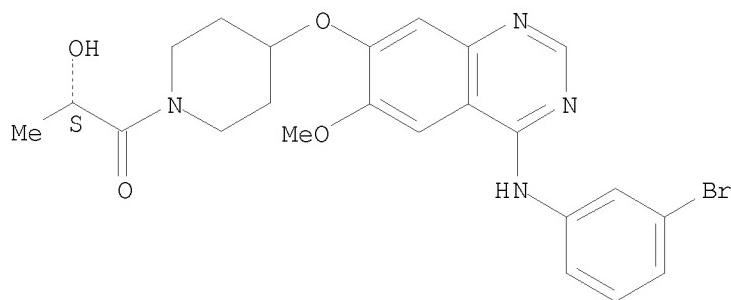
Absolute stereochemistry.



RN 848439-93-0 CAPLUS

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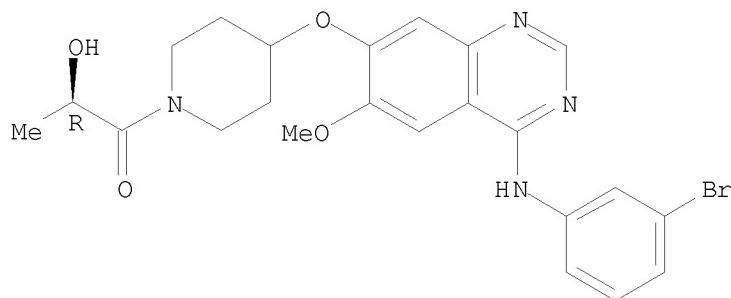
Absolute stereochemistry.



RN 848439-94-1 CAPLUS

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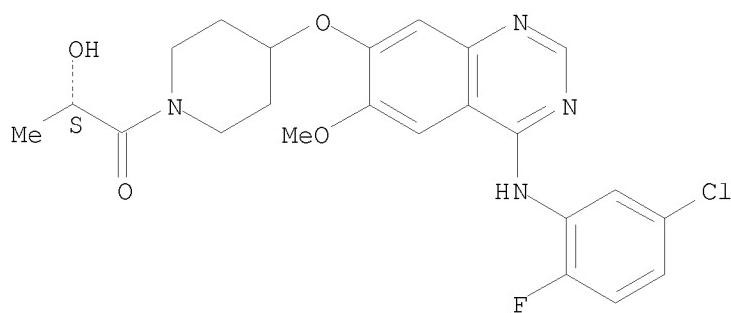
Absolute stereochemistry.



RN 848439-95-2 CAPLUS

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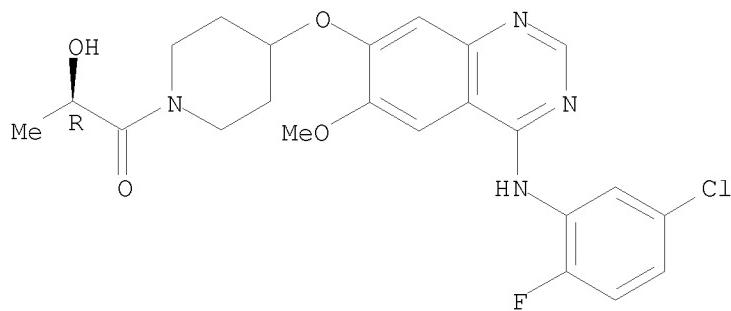
Absolute stereochemistry.



RN 848439-96-3 CAPLUS

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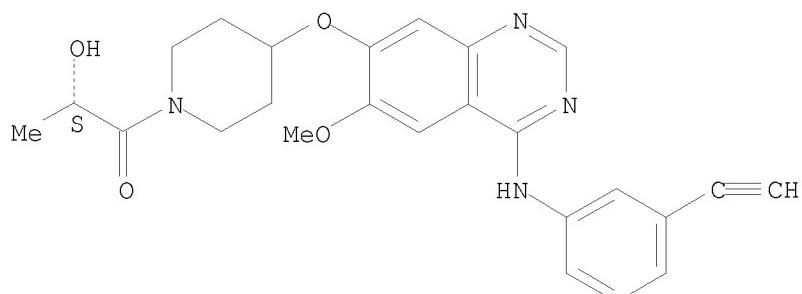
Absolute stereochemistry.



RN 848439-97-4 CAPLUS

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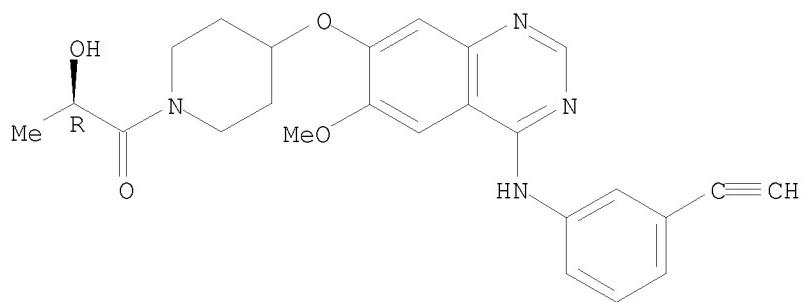
Absolute stereochemistry.



RN 848439-98-5 CAPLUS

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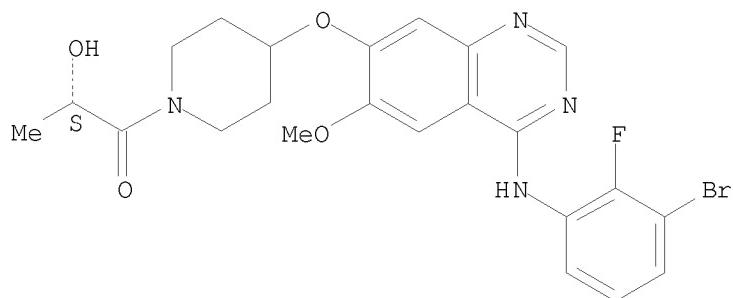
Absolute stereochemistry.



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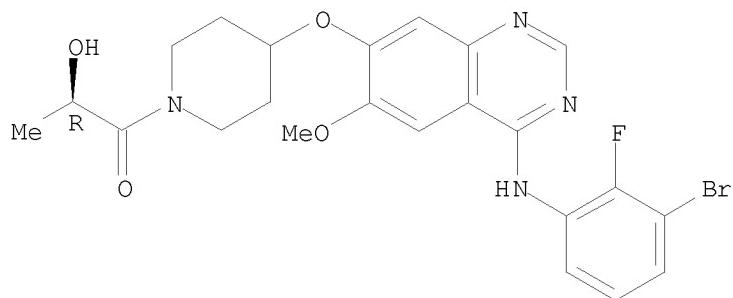
Absolute stereochemistry.



RN 848440-00-6 CAPLUS

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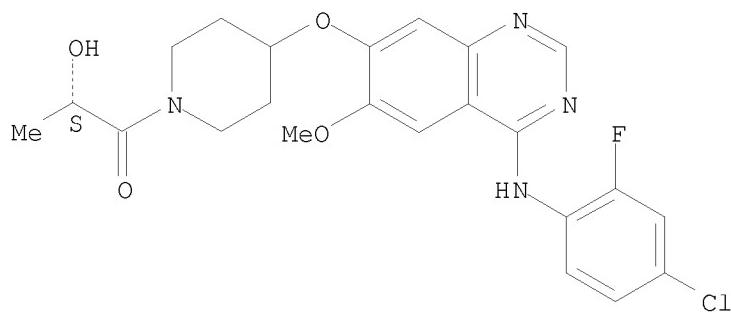
Absolute stereochemistry.



RN 848440-01-7 CAPLUS

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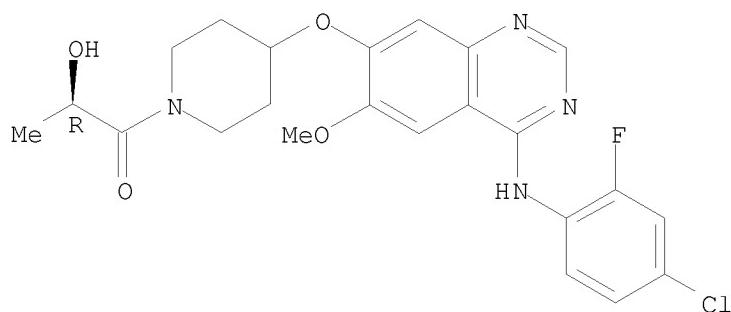
Absolute stereochemistry.



RN 848440-02-8 CAPLUS

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Absolute stereochemistry.



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